

# 广义小檗科植物药用亲缘学的研究

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## A pharmacophylogenetic study of the Berberidaceae (s.l.)

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**Abstract** This paper deals with the correlation between phylogeny, chemical constituents and pharmaceutical effectiveness of the Berberidaceae (s.l.), i.e. a pharmacophylogenetic study of the family. Our results support the circumscription of the family recently proposed by Wu Z-Y et al., who considered that the Berberidaceae (s.l.) should be treated as four independent families: Nandinaceae, Berberidaceae (s.s.), Podophyllaceae and Leonticaceae. Phytochemically the monotypic family Nandinaceae is characterized by containing a rich spectrum of benzyloquinoline types of alkaloids, such as berberine, palmatine, jatrorrhizine, coptisine, magnoflorine, domesticine, nandinine and protopine. The existence of the cyanogenic compound nandinin, biflavonoid amentoflavone and benzaldehyde-4-*O*-glucoside in this family indicates its relatively distant relation with the other three families. *Nandina indica*, the only species of the Nandinaceae, has been ethnopharmacologically mainly used as medicines for clearing heat and counteracting toxins, or as antitussive. The Berberidaceae (s.s.), which consist of *Berberis* L. and *Mahonia* Nutt., contain mainly benzyloquinoline alkaloids, e.g., berberine, palmatine, jatrorrhizine, columbamine, magnoflorine, particularly a higher content of biisobenzylquinoline alkaloids represented by berbamine and oxyacanthine. Ethnopharmacologically the plants in this family have been mainly used as medicines for clearing heat and counteracting toxins. In addition, plants in both *Berberis* and *Mahonia* have long been used as the main sources of the drugs berberine and berbamine. The Podophyllaceae can be divided into two tribes. The tribe Podophylleae, consisting of *Podophyllum* L. (including *Sinopodophyllum* Ying and *Dysosma* Woodson) and *Diphyllaea* Michx., contains extensively various podophyllotoxin lignans, and the plants in this tribe have been used as the most important source for the manufacture of the anticancer drugs, i.e., podophyllotoxin's derivatives. Ethnopharmacologically, the plants have been mainly used as medicines for activating blood, revolving stasis, relieving swelling, removing toxin, and clearing heat. The tribe Epimedieae, consisting of *Epimedium* L., *Vancouveria* C. Morr. & Decne, *Achlys* DC., *Jeffersonia* Barton (*Plagiorhegma* Maxim.) and *Ranzania* T. Ito, has diversified chemical constituents. Both *Epimedium* and *Vancouveria* contain predominately bioactive icariin

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flavonoids, the characteristic chemical constituents of this group. Ethnopharmacologically the plants in *Epimedium* have been used as a male sexual tonic, and as medicines for dispelling wind and removing dampness. The phytochemistry of the remaining three genera *Achlys*, *Jeffersonia* and *Ranzania* has not been yet thoroughly investigated. *Jeffersonia dubia* has been used for the treatment of dysentery and eye pain caused by inflammation in the Korean minority nationality of northeast China. The Leonticeae, including *Gymnospermium* Spach, *Leontice* L., *Caulophyllum* Michx and *Bongardia* C. A. Mey., phytochemically contain mainly  $\beta$ -amyrin triterpenoids and quinolizidine alkaloids, and have been used as medicines for activating blood, revolving stasis, dispelling wind and removing dampness.

**Key words** Berberidaceae, pharmacophylogenetic study, chemotaxonomy, phylogenetic relationship.

**摘要** 为探讨广义小檗科Berberidaceae s.l.植物的亲缘关系、化学成分与疗效间存在的联系性,即药用亲缘学的研究,将有关本科的植物化学、疗效等信息数据与植物亲缘关系进行综合的研究分析。研究结果发现本科的化学成分可以划分为几大类型:苄基异喹啉类生物碱、鬼臼毒素类木脂素、三萜皂苷、喹诺里西啉生物碱和淫羊藿苷类黄酮等,结合其疗效,发现广义小檗科从药用亲缘学的角度来观察,可以划分为4个独立的小科,即南天竹科Nandinaceae、小檗科Berberidaceae(狭义)、狮足草科Leonticeae和鬼臼科Podophyllaceae。

**关键词** 小檗科; 药用植物亲缘学; 化学分类学; 系统关系

我国有着十分丰富的药用植物多样性,一方面是由于我国具有多种多样的自然环境条件,另一方面是由于我国人民在使用植物防治疾病上积累了丰富的经验。在对我国药用植物一些类群进行深入研究时,我们发现在植物亲缘关系、化学成分与疗效间存在一定的联系性(Xiao, 1978; 肖培根, 1980; 肖培根等, 1980; Chen et al., 2003)。我们将这种联系性称为药用亲缘学(pharmacophylogenetics)(肖培根等, 2006)。

广义小檗科Berberidaceae s.l.含14属,约650种,主产于北温带和亚热带高山地区。我国有10属,约320种。该科是药用植物的一个重要类群,几乎所有属和大部分种都具有药用价值,例如小檗属*Berberis* L.和十大功劳属*Mahonia* Nutt.植物是黄连素的重要原料;淫羊藿属*Epimedium* L.多种植物是中药淫羊藿的来源,鬼臼属*Podophyllum* L.植物则是抗癌药物鬼臼毒素(podophyllotoxin)的主要来源。其余类群大部分为重要的民间草药,具有多种药理活性,是新药开发的重要研究对象。但是,广义小檗科在分类系统方面存在有多种不同的观点,本文拟从植物分类-化学成分-疗效间的联系性来探索此类群的药用亲缘学方面的问题。

1 小檗科的主要分类系统

自Jussieu 1789年建立小檗科以来,已有若干系统发表。全科由13–17个属组成,曾被划分为2–4个科,各小科的界定也不尽相同。持广义小檗科概念的学者,对于科以下各属的系统处理也有很多争议。该科的主要分类系统有: Airy Shaw(1973), Terabayashi(1985a, 1985b), Meacham(1980)和Loconte(1993)以及吴征镒等(2003)的系统(表1)。尽管花形态学、血清学、花粉学和细胞学等性状研究广泛用于小檗科系统学的探讨,但是,各属之间的系统进化关系依然存在较大的争议。

表1 小檗科主要分类系统

Table 1 Different classification systems of the Berberidaceae

Airy Shaw (1973)	Terabayashi (1985a, b)	Meacham (1980)	Loconte (1989, 1993)	吴征镒等 Wu et al. (2003)
Nandinaceae	Nandinoideae	Nandinaceae	Nandinoideae	Nandinaceae
<i>Nandina</i> Thunb.	<i>Nandina</i>	<i>Nandina</i>	<i>Nandina</i>	<i>Nandina</i>
Berberidaceae	Berberidoideae	Berberidaceae	Berberidoideae	Leonticaceae
<i>Mahonia</i> Nutt.	Berberideae		Leonticeae	<i>Caulophyllum</i>
<i>Berberis</i> L.	<i>Mahonia</i>	<i>Mahonia</i>	<i>Caulophyllum</i>	<i>Gymnospermium</i>
<i>Epimedium</i> L.	<i>Berberis</i>	<i>Berberis</i>	<i>Leontice</i>	<i>Leontice</i>
<i>Vancouveria</i> C. Morr. & Decne.	Ranzanieae	<i>Ranzania</i>	<i>Gymnospermium</i>	
	<i>Ranzania</i>		Berberideae	Berberidaceae
Leonticaceae	Epimediaceae	<i>Caulophyllum</i>	Berberidineae	<i>Ranzania</i>
<i>Caulophyllum</i> Michx.	Epimediinae	<i>Leontice</i>	<i>Mahonia</i>	<i>Mahonia</i>
<i>Leontice</i> L.	<i>Epimedium</i>	<i>Gymnospermium</i>	<i>Berberis</i>	<i>Berberis</i>
<i>Gymnospermium</i> Spach	<i>Vancouveria</i>	<i>Bongardia</i>	<i>Ranzania</i>	Podophyllaceae
<i>Bongardia</i> C. A. Mey.	<i>Jeffersonia</i>		Epimediinae	Epimedioideae
	<i>Plagiorhegma</i>	<i>Epimedium</i>	<i>Epimedium</i>	<i>Epimediaceae</i>
Podophyllaceae	<i>Achlys</i>	<i>Vancouveria</i>	<i>Vancouveria</i>	<i>Epimedium</i>
<i>Ranzania</i> T. Ito	Leonticinae	<i>Jeffersonia</i>	<i>Jeffersonia</i>	<i>Vancouveria</i>
<i>Jeffersonia</i> Barton	<i>Caulophyllum</i>	<i>Plagiorhegma</i>	<i>Plagiorhegma</i>	<i>Plagiorhegma</i>
<i>Plagiorhegma</i> Maxim.	<i>Leontice</i>	<i>Achlys</i>	<i>Achlys</i>	Achlydeae
<i>Achlys</i> DC.	<i>Gymnospermium</i>		<i>Bongardia</i>	<i>Achlys</i>
<i>Podophyllum</i> L.	<i>Bongardia</i>		<i>Podophyllum</i>	Bongardieae
<i>Dysosma</i> Woodson	Podophylleae		<i>Dysosma</i>	<i>Bongardia</i>
<i>Diphylleia</i> Michx.	<i>Podophyllum</i>	<i>Podophyllum</i>	<i>Sinopodophyllum</i>	Podophylloideae
			Ying	
	<i>Dysosma</i>	<i>Dysosma</i>	<i>Diphylleia</i>	<i>Diphylleia</i>
	<i>Diphylleia</i>	<i>Diphylleia</i>		<i>Podophyllum</i>
				<i>Dysosma</i>
				<i>Sinopodophyllum</i>

Airy Shaw(1973)的小檗科分类系统是一个重要系统。该系统将小檗科(广义)分为4个具有亲缘关系的小科, 即南天竹科Nandinaceae、小檗科Berberidaceae s.s.、狮足草科Leonticaceae和鬼臼科Podophyllaceae。该系统的最大争议是将单种属*Nandina* Thunb.提升为一个科, 另一个大的争议是将木本属小檗属和十大功劳属及草本属淫羊霍属和温哥华属*Vancouveria* C. Morr. & Decne.同归入狭义的小檗科, 认为草本属和木本属有最近的亲缘关系。Terabayashi(1985a, b)将小檗科分为南天竹亚科Nandinoideae和小檗亚科Berberidoideae, 后者分为5个族。Meacham(1980)认为除去*Nandina*应单立一科外, 其他的属归为小檗科下4个组, 这和Terabayashi(1985a, b)的主张类似。Loconte(1993)将小檗科分为南天竹亚科和小檗亚科, 同Terabayashi(1985a, b)不同的是, 将小檗亚科分为Leonticeae、Berberideae和Epimediinae 3个族。为讨论方便, 本文中草本植物各属的概念, 主要根据小檗科草本型植物最近的专著(Stearn, 2002)。此专著全面整理了世界淫羊霍属、温哥华属和小檗科草本植物, 将八角莲属*Dysosma* Woodson作为鬼臼属的一个组sect. *Dysosma* (Woodson) J. M. H. Shaw, 桃儿七属*Sinopodophyllum* Ying作为鬼臼属的异名, 将鲜黄连属*Plagiorhegma* Maxim.并入*Jeffersonia*属中; 该书对属、种的处理代表了传统的小檗科分类观点。

2 化学成分

小檗科植物含有丰富的化学成分, 成为该类植物多种生物活性的物质基础。和形态上的变异相似, 该科植物的化学成分差异也很大, 亲缘关系比较近的植物化学成分有很大的相似性。总体来讲, 小檗科化学成分主要包括苕基异喹啉生物碱、鬼臼毒素类木脂素、喹诺里西啉生物碱、淫羊藿苷类黄酮、香豆素和其他黄酮等酚类成分。

2.1 苕基异喹啉生物碱(isobenzylquinolines)

这类生物碱存在于南天竹属*Nandina* Thunb.、小檗属和十大功劳属等木本类群中, 代表类型有原小檗碱型(protoberberine)、阿扑菲型 (aporphine)、双苕基异喹啉生物碱 (bi-isobenzylquinolines) 和其他类型(图1)。

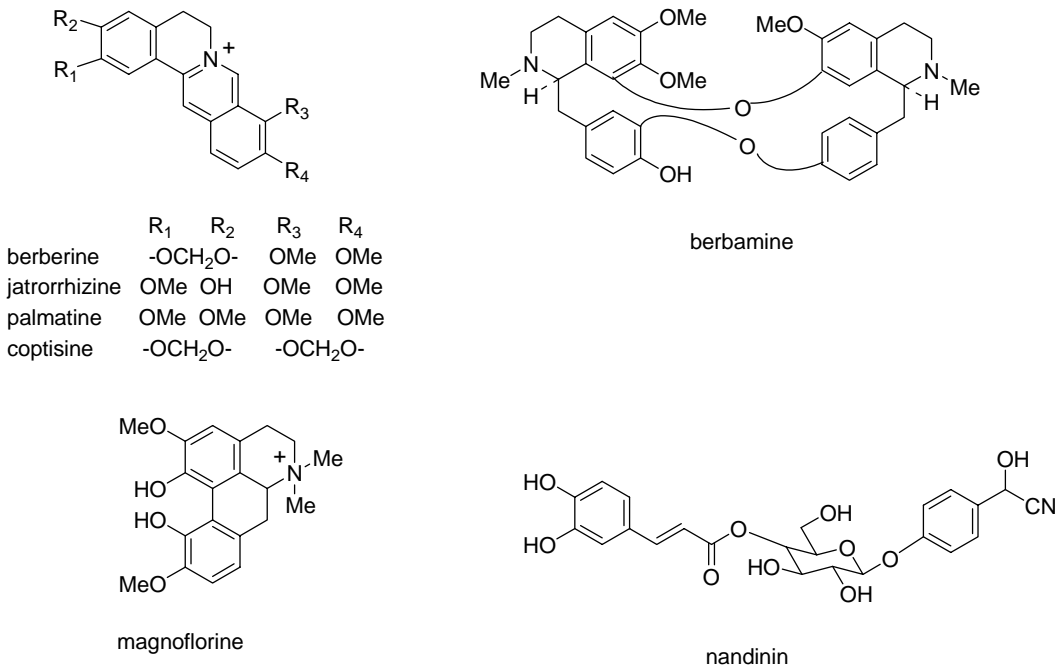


图1 小檗科植物主要苕基异喹啉生物碱和氰基化合物  
Fig. 1. Major isobenzylquinoline alkaloids and cyanogenic glycosides in Berberidaceae.

2.1.1 南天竹属 仅一种, 为东亚特有, 主要分布在中国及日本。Tomita等(1951)、Tomita和 Sugamoto(1961)、 Tomita和 Fujie(1962)及 Kunitomo 等(1972, 1974)对南天竹 *Nandina domestica* Thunb.进行了详细的化学成分研究, 主要成分为苕基异喹啉生物碱, 原小檗碱型的有小檗碱(berberine)、巴马亭(palmatine)、药根碱(jatrorrhizine)、黄连碱(coptisine); 阿扑菲型的有木兰花碱(magnoflorine)、南天竹种碱(domesticine)、南天竹碱(nandinine)等; 普鲁托品型的有普鲁托品(protopine)等; 该属还富含氰基化合物南天竹氰苷(nandinin)以及穗花双黄酮(amentoflavone)和两个苯甲醛的葡萄糖苷: 南天竹苷(nantenoside A, B) (Abrol

et al., 1966; Olechno et al., 1984)。

**2.1.2 小檗属** 全世界约400余种, 我国产220余种。本属植物主要含季铵型生物碱, 代表成分有小檗碱、药根碱、巴马亭、木兰花碱, 还含双苄基异喹啉生物碱, 代表成分是小檗胺(berbamine)、尖刺碱(oxyacanthine); 以及其他苄基异喹啉生物碱(Karimov et al., 1993a, b, c; Khamidov et al., 1996a, b, 1997; Yusupov et al., 1993; Lü et al., 1995, 1999b)。

**2.1.3 十大功劳属** 全世界100余种, 中国约40种。本属主要含原小檗碱型生物碱: 小檗碱、药根碱、巴马亭、非洲防己碱(columbamine), 阿扑菲型生物碱: 木兰花碱、corytuberine、黄连碱、异黄连碱和isoboldine, 双苄基异喹啉生物碱: 小檗胺、尖刺碱、aromoline、obamegine、thlurgosine和obaberine(Tomita & Abe, 1952a, b; Tomita et al., 1953a, b; Tomita & Kugo, 1956, 1957; Tomita & Sugamoto, 1961; Lü et al., 1999a)。

**2.2 鬼臼毒素木脂素类(podophyllotoxins)**

鬼臼属(包括桃儿七属、八角莲属)全世界共14种, 中国13种; 山荷叶属*Diphylleia* Michx. 全世界共3种, 北美、中国、日本各1种, 两属植物含鬼臼毒素木脂素类化合物。目前从鬼臼属和山荷叶属植物素中分离到近30个该类化合物, 按照结构不同分为I-V五种类型, 其中I类型又分为Ia、Ib和Ic 3类(图2; 表2)。

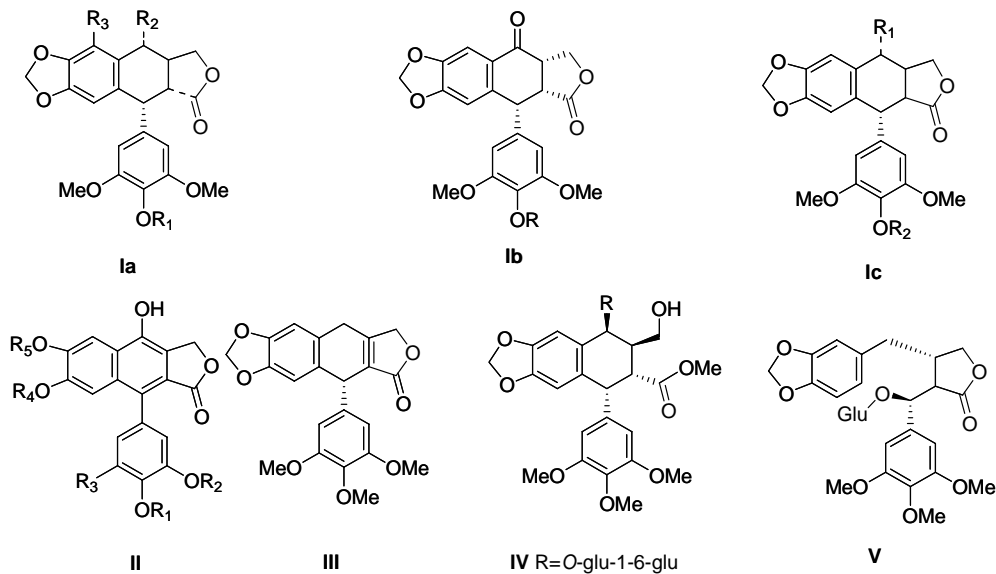


图2 小檗科植物中鬼臼毒素木脂素类型  
Fig. 2. Major types of podophyllotoxin lignans of berberidaceous plants.

另外, 该类群植物中还含黄酮类成分, 尚明英等(2000a)、蒋子华和陈泗英(1989)、姚莉韵和王丽平(1999)从八角莲属中分离到山奈酚(kaempferol)、槲皮素(quercetin)及其苷类; Zhao等(2001a, c)从鬼臼属也得到这些黄酮化合物, Zhao等(2001b, 2003)还从该属植物中分离得到酚类成分, 如junipetriosides A, B、苯乙醇类化合物、柠檬酚(citrusinol)等。

表2 主要鬼臼毒素类化合物的分布  
Table 2 Distribution in plants of the major podophyllotoxin lignans

属 Genus	种 Species	鬼臼毒素 Lignans	参考文献 Reference
鬼臼属 <i>Podophyllum</i> (包括 <i>Dysosma</i> 和 <i>Sinopodophyllum</i> )	<i>P. aurantiocaul</i> Hand.-Mazz. ssp. <i>furfuraceum</i> (S. Y. Bao) J. M. H. Shaw	Ia, Ic	Shang et al., 2000a
	八角莲 <i>P. versipelle</i> Hance	Ic	Yao & Wang, 1999
		Ia, Ib, II	Yu et al., 1991
	川八角莲 <i>P. delavayi</i> Franch. ( <i>P. veitchii</i> Hemsl. & Wils.)	Ia	Jiang & Chen, 1989
	贵州八角莲 <i>P. majoense</i> Gagnep.	Ia, Ib, II	Yin et al., 1990
	桃儿七 <i>P. hexandrum</i> Royle	Ia, Ic, II, IV, V	Shibata et al., 1962; Shang et al., 2000b; Purohit et al., 1999
	六角莲 <i>P. pleianthum</i> Hance	Ia, Ib, Ic, II	Yin & Chen, 1989
山荷叶属 <i>Diphylleia</i>	云南八角莲 <i>P. aurantiocaul</i> Hand.-Mazz. ( <i>P. tsayuensis</i> Ying)	Ia, Ib, Ic, II	Liao et al., 2002
	南方山荷叶 <i>D. sinensis</i> Li	Ia, Ib, Ic, II	Ma et al., 1993
	山荷叶 <i>D. cymosa</i> Michx., <i>D. grayi</i> F. Schmidt	Ia	Broomhead et al., 1990

8-异戊烯基山奈酚(尚明英等, 2000b)也存在于桃儿七属, 和淫羊藿属植物所含黄酮类似。山奈酚也存在于山荷叶属(马辰等, 1993)。

2.3 三萜皂苷类(triterpene saponins)和生物碱类

同时含有三萜皂苷类和以喹诺里西啶(quinolizidine)为主的生物碱的类群包括4个属, 即囊果草属*Leontice* L.、红毛七属*Caulophyllum* Michx.、牡丹草属*Gymnospermium* Spach 和蓬加蒂属*Bongardia* C. A. Mey.。

2.3.1 三萜皂苷 四属植物都含有三萜皂苷, 主要系β-香树脂素类型。根据苷元不同可以分为四类(图3, 表3: I-IV)。

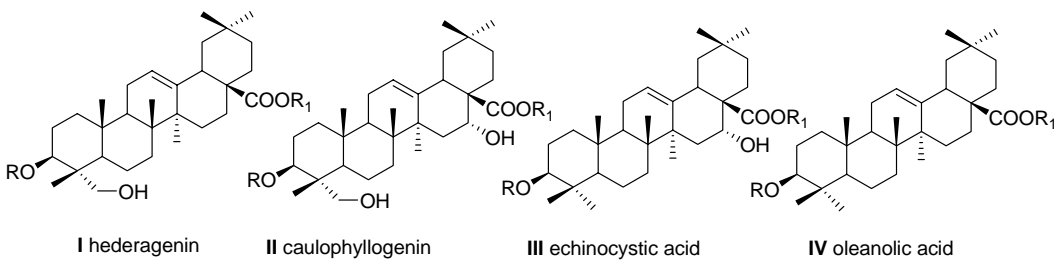


图3 小檗科主要三萜皂苷类成分  
Fig. 3. Major β-amyrin triterpene saponins in Berberidaceae.

2.3.2 生物碱 这一类群植物的生物碱主要分为两大类: 喹诺里西啶生物碱和苄基异喹啉生物碱。其中喹诺里西啶为主要生物碱, 可分为羽扇豆碱型(lupinine)、狮足草碱型(leontidine)、无叶豆碱型(sparteine)和苦参碱型(matrine)4个类型(图4; 表4)。

表3 小檗科中主要β-香树脂素三萜皂苷类化合物及其分布  
Table 3 Major β-amyrin triterpene saponins and their distributions in Berberidaceae.

化合物 Compound	苷元 Aglycone	种 Species	参考文献 Reference
葎严仙皂苷A, C, D, F, G cauloside A, C, D, F, G	I	<i>Caulophyllum thalictroides</i> (L.) Michx.	Jhoo et al., 2001
葎严仙皂苷B cauloside B	II	<i>C. robustum</i> Maxim.	Berezhevskaya & Glebko, 1983
葎严仙皂苷E cauloside E	III		Vykhrestyuk et al., 1992
leontoside D	I		
hederacoside A	I	<i>Bongardia chrysogonum</i> (L.) Boiss.	Atta et al., 2000
Saponin-I, II, III	I		
牡丹草皂苷A leonticin A	I		
牡丹草皂苷B leonticin B	IV		
牡丹草皂苷C leonticin C	III		
牡丹草皂苷E leonticin E	IV	<i>Gymnospermium kiangnanensis</i> (P. L. Chiu) Loconte	Chen et al., 1996
牡丹草皂苷F leonticin F	I		
牡丹草皂苷G leonticin G	IV		
牡丹草皂苷H leonticin H	III		
牡丹草皂苷D leonticin D	II	<i>G. kiangnanensis</i> <i>C. thalictroides</i> <i>C. robustum</i>	Chen et al., 1997 Jhoo et al., 2001 Berezhevskaya & Glebko, 1983; Vykhrestyuk et al., 1992

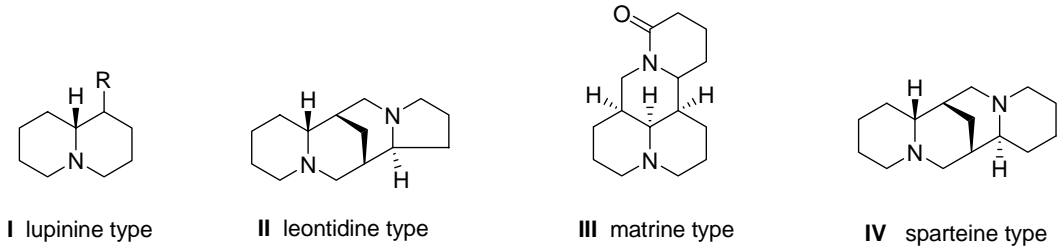


图4 囊果草属中主要喹诺里西啶生物碱类型  
Fig. 4. Major types of quinolizidine alkaloids in *Leontice*.

2.3.2.1 牡丹草属 a. 喹诺里西啶类是该属主要生物碱。b. 苄基异喹啉生物碱: Abu Safieh 等(1986)从 *Leontice leontopetalum* 中得到异喹啉生物碱: 网叶番荔枝碱(+reticuline)、juziphine、norjuziphine、(-)-magnocurarine chloride、(-)-oblongine chloride、花瓣狮足草碱(petaline)、(-)-petaline chloride、cis-petaline methane、trans-petaline methane。Panov等(1972)从该植物中分到巴马亭和四氢巴马亭。c. 其他类型生物碱: Abu Zarga等(1995)从 *Leontice leontopetalum* 中分离到两个 quettamine 型生物碱——(+)-O-methyldihydrosecoquettamine 和 (+)-dihydrosecoquettamine。

2.3.2.2 红毛七属 主要含喹诺里西啶类生物碱(Woldemariam et al., 1997; Kennelly et al., 1999), 已发现的有臭豆碱(anagyrene)、baptifoline、5,6-dehydro-α-isolupanine、isolupanine、lupanine、N-methycytisine 和 sparteine; 同时还含少量的苄基异喹啉生物碱, 如木兰花碱以及塔斯品碱(taspine)。

表4 囊果草属及牡丹草属中主要喹诺里西啉生物碱及其分布

Table 4 Distributions of quinolizidine alkaloids in *Leontice* and *Gymnospermium*

类型 Type	化合物 Compound	种 Species	参考文献 Reference
I	leotiformidine, leotiformine	<i>Leontice leontopetalum</i> L.	Abu Safieh et al., 1986
II	狮足草碱leotidine, camoensine	<i>Gymnospermium kiangnanensis</i> <i>L. leontopetalum</i> <i>L. leontopetalum</i> ssp. <i>ewersmannii</i> (Bunge) Coode	Liao et al., 2001 Gresser et al., 1993
III	苦参碱matrine, tetrahydrorhombifoline Leontine, 槐定碱sophoridine	<i>L. leontopetalum</i> <i>L. leontopetalum</i> ssp. <i>ewersmannii</i>	Gresser et al., 1993
IV	5 $\alpha$ -hydroxysophorcarpine darvasine, darvasamine, d-sophoridine	<i>Gymnospermium kiangnanensis</i> <i>G. alberti</i> (Regel.) Takht.	Liao et al., 2001 Kurbanov et al., 1982
	羽扇豆碱lupanine, 异羽扇豆碱isolupanine, 3 $\alpha$ -hydroxylupanine, 3 $\beta$ -hydroxylupanine	<i>L. leontopetalum</i>	Gresser et al., 1993; Al-Tel et al., 1991
	13 $\alpha$ -hydroxylupanine, 13 $\alpha$ -acetoxylupanine	<i>L. leontopetalum</i> ssp. <i>ewersmannii</i>	Gresser et al., 1993
	didehydrolupanine, oxolupanine, 鹰爪豆碱sparteine, $\alpha$ -isosparteine, oxysparteine, 11,12-dehydrosparteine, cytosine, <i>N</i> -methylcytisine, dihyooxaphyllidine	<i>Gymnospermium kiangnanensis</i> <i>G. alberti</i>	Liao et al., 2001 Iskandarov et al., 1967

2.3.2.3 蓬加蒂属 全球2种，我国不产。所含生物碱有吡啶类(pyridine alkaloids) bongardine和*N*-acetylbongardine以及羽扇豆生物碱(lupine alkaloid) bongardamine (Atta et al., 1999); 17-deoxy-*cis*-lamprolobine、bonzakaline、lupanine和palmatrubine(Atta et al., 1998); 此外，还含有氨基酸类生物碱jordanine和酚酸bongardol(Alfatafta et al., 1989)(图5)。

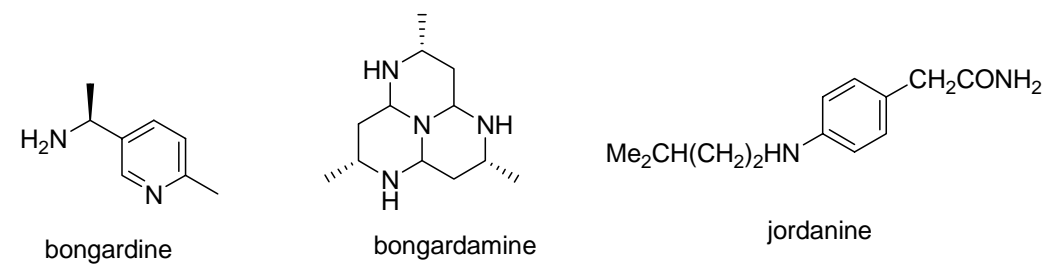


图5 蓬加蒂属植物中的主要化学成分  
Fig. 5. Major chemical constituents in *Bongardia* plants.

2.4 淫羊藿苷类黄酮(icariin flavonoids)

2.4.1 在淫羊藿属全球54种及一些杂交类型和温哥华属全球3种(中国不产) 中，普遍存在具有活性的8位有异戊烯基的黄酮醇及其苷类，即淫羊藿苷类黄酮。从淫羊藿属(郭宝林, 肖培根, 1999)和温哥华属(Mizuno et al., 1990a, 1991, 1992a; Yamamoto et al., 1993)中分离出50多种该类黄酮(表5)。黄酮、查耳酮、黄烷酮及具异戊烯基取代的黄酮等也存在于这类植物中，但是含量很低。

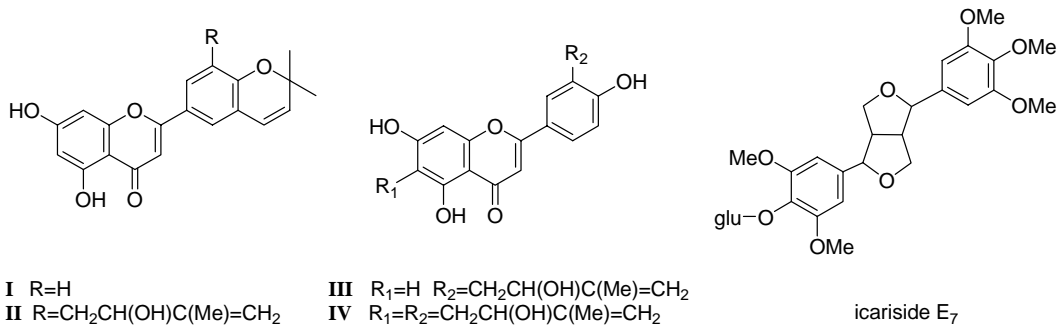


表5 淫羊藿属和温哥华属中主要淫羊藿苷类黄酮成分  
Table 5 Major icariin flavonoids in *Epimedium* and *Vancouveria*

CC(C)=CCc1c(OC(=O)c2cc(OR3)ccc2O)c(OC)c3cc(O)c(=O)c(OR2)c3O

化合物 Compound	取代基 R <sub>1</sub>	取代基 R <sub>2</sub>	取代基 R <sub>3</sub>	参考文献Reference
淫羊藿苷icariin	glu	rha	Me	Mizuno et al., 1991
温哥华苷A hexandraside A	glul	gala (1→3) rha	Me	Mizuno et al., 1990a
温哥华苷B hexandraside B	glu	6-O-acetyl-gala (1→3) rha	Me	Mizuno et al., 1990a
温哥华苷C hexandraside C	glul (1→2) glu	xyl (1→3) rha	H	Mizuno et al., 1991
温哥华苷D hexandraside D	glu	rha (1→3) rha	Me	Mizuno et al., 1991
温哥华苷E hexandraside E	glu	glu	H	Mizuno et al., 1992a
温哥华苷F hexandraside F	glu	glu (1→3) rha	Me	Mizuno et al., 1992a
朝霍定A epimedin A	glu	glu (1→2) rha	Me	Mizuno et al., 1992a
朝霍定B epimedin B	glu	xyl (1→2) rha	Me	Mizuno et al., 1991
朝霍定C epimedin C	glu	rha (1→2) rha	Me	Yamamoto et al., 1993
大花淫羊藿苷F ikarisoside F	H	glu (1→2) rha	H	Mizuno et al., 1992a
淫羊藿属苷A epimedoside A	glu	rha	H	Mizuno et al., 1991
淫羊藿属苷E epimedoside E	glu	xyl (1→2) rha	H	Mizuno et al., 1991
大花淫羊藿苷C ikarisoside C	glu	glu (1→2) rha	H	Yamamoto et al., 1993

此外, 从*Vancouveria hexandra* (Hook.) C. Morren & Decne.中还发现另一类异戊烯基黄酮(I-IV)和一个2-苯氧基色酮(Linuma et al., 1993a)。此外, 淫羊藿属还含有木兰花碱等苄基异喹啉生物碱(朱敏, 肖培根, 1991; 刘春明等, 2003), 以及一系列木脂素及其苷类(icarisides)(Matsushita et al., 1991)(图6)。



**2.4.2 裸花草属***Achlys* DC. 全世界仅1种(包括3个亚种), 产北美西部、日本及朝鲜。该属主要含黄酮类成分和异香豆素(isocoumarin)。黄酮类以异鼠李素苷类为主, 从*Achlys triphylla* (Sm.) DC.已分离了3个该类化合物(Mizuno et al., 1992b), 从该植物中还得到4个异香豆素成分achlisocoumarin I-IV (Mizuno et al., 1990b; Linuma et al., 1993b)(图7),表明此类群在化学上的独特性。

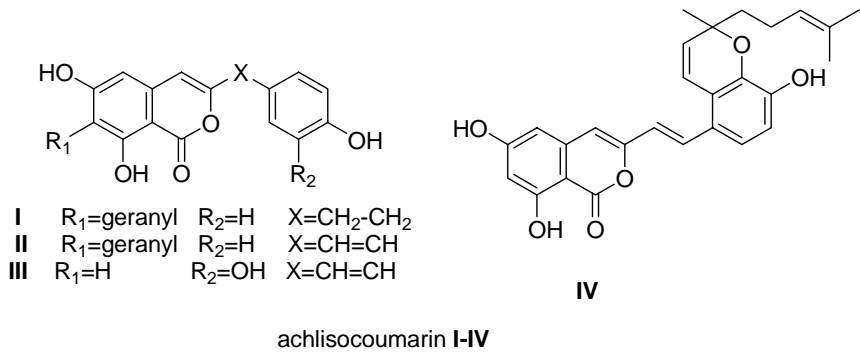


图7 裸花草属中的异香豆素成分  
Fig. 7. Isocoumarins from *Achlys*.

2.5 其他类型

**2.5.1 兰山草属***Ranzania* T. Ito 成分报道较少, 仅有一个酚苷类glucoacetosyringone (Ina et al., 1983)。

**2.5.2 鲜黄连属** 全球2种, 我国1种。Bentham和Hooker f.在*Genera Plantarum*第一卷中将*Plagiorhegma*和*Jeffersonia*归并成一属, 即*Jeffersonia*属, 并一直沿用至今(Stearn, 2002)。含药根碱, 不含小檗碱, 还含两个去氢二松柏醇葡萄糖苷(Arens et al., 1985)。

3 主要药理作用及民间疗效

广义小檗科各个类群由于所含化学成分不同, 因而其药理作用和民间疗效也各有特点。小檗属和十大功劳属富含以小檗碱(berberine)为代表的双苄基异喹啉类生物碱, 常作为提取黄连素的原料。现代药理研究表明, 此类型的生物碱常具有抗菌消炎、免疫促进、利胆、降压、抗心律失常等方面的作用(肖培根, 2002)。传统疗效指数：小檗碱具有清热解毒(3114)、清热泻火(643)、清热燥湿(514)等作用, 可治疗痢疾(3430)、胃肠炎(3512)、目赤肿痛(4482)、肝病(880)、黄疸(465)、跌打损伤(536)、痈疽疮毒(2604)和烫伤(331)等。十大功劳属具有清热解毒(2178)作用, 可治疗痢疾(3095)、胃肠炎(2579)、目赤肿痛(3553)、痈疽疮毒(1829)、肺结核(2625)、肝炎(741)、跌打损伤(1325)、关节炎(896)和感冒(962)等。两属疗效十分相似。

南天竹属虽含有较丰富的苄基异喹啉类生物碱, 但成分类型更加多样, 还含有甾苷

传统疗效指数(TRI) =  $C_1^2 / C_2 \times 100$ 。C<sub>1</sub>表示此属中出现该传统疗效次数(卡片数); C<sub>2</sub>表示此属具该传统疗效的植物种的次数(卡片)的总数; 详见肖培根等(1986)。

和双黄酮等而与上述两属不同。其中如本属所特有的南天竹碱(nandinine)的药理作用, 对中枢神经系统的作用, 对蛙先轻度麻痹, 继则因反射亢进引起痉挛, 最后因心脏麻痹而死亡; 对平滑肌有兴奋作用; 还可使冠脉流量增加。传统疗效指数: 清热解毒(405)、止咳(605), 可治疗支气管炎(405)、百日咳(845)等(肖培根等, 1986)。

鬼臼属和山荷叶属普遍含鬼臼毒素, 具有较强毒性, 是著名的抗癌药来源, 同时还有抗病毒作用。传统疗效指数: 活血祛瘀(1694)、消肿解毒(574)、清热解毒(417), 用于治疗痈疽疮毒(2669)、蛇咬伤(2144)、腮腺炎(903)、跌打损伤(536)等(肖培根等, 1986)。

淫羊藿属富含8位异戊烯基的黄酮醇化合物, 具有多种重要的药理活性, 愈来愈受到国际上的关注和重视。此类成分的药理作用具有免疫调节作用, 促进性腺功能和提高性功能, 具有改善骨质疏松和抗衰老等方面的作用。传统疗效指数: 壮阳(1988)、祛风除湿(1838)、用于治疗阳痿(2597)、关节炎(1062)、神经衰弱(662)、不孕症等(肖培根等, 1986)。

鲜黄连属我国产1种, 朝鲜族用其根茎代黄连作用。药理作用有抗菌抗炎作用。传统疗效指数: 用于治疗痢疾(400)、目赤肿痛(400)等(肖培根等, 1986)。

牡丹草属与囊果草属均含三萜皂苷及喹诺里西啶类生物碱, 药理研究具很好的抗炎、镇痛和镇静作用。民间疗效认为有活血止痛、止血等作用, 主要用于治疗跌打损伤、止胸痛、胃痛、骨折疼痛和头痛、头晕等。

红毛七属的化学成分主要是喹诺里西啶类生物碱及其三萜皂苷, 其皂苷药理具细胞毒活性。传统疗效指数: 活血祛瘀(909)、祛风除湿(582), 用于治疗痈疽疮毒(2669)、关节炎(736)等(肖培根等, 1986)。

## 4 讨论

根据小檗科的化学成分, 可以将该类群划分为4个大类: I. 含异喹啉生物碱类, II. 含鬼臼毒素类木脂素类, III. 含吡咯里西啶生物碱 + 三萜皂苷类, IV. 含淫羊藿苷黄酮类。

### 4.1 含异喹啉生物碱类

木本类群的小檗属、十大功劳属和南天竹属主要成分为异喹啉生物碱类, 都含有原小檗碱、小檗碱、药根碱, 显示它们之间有很近的亲缘关系; 小檗属和十大功劳属化学成分很相似, 与南天竹属存在的区别在于: 前两属含有双苄基异喹啉生物碱, 后者含有氰基、双黄酮、酚类苷, 显示南天竹属与前两者的差别。从药理作用和民间疗效看, 该类群植物有抗菌消炎作用, 具有清热解毒功效, 民间用于消炎、治疗痢疾、黄疸等各种由于细菌和病毒引起的疾病。

### 4.2 含鬼臼毒素类木脂素类

该类群由鬼臼属和山荷叶属两属组成, 共同化学特征是含有鬼臼毒素类木脂素, 与其他类群化学成分具有明显的差异, 是一个较为自然的类群。从疗效上来看, 主要具有抗癌作用, 民间用于治疗风湿痹痛、跌打损伤等症。关于类群内的亲缘关系, 马绍宾和胡志浩(1997)认为鬼臼属因含有特殊的 $\alpha$ -足叶草素( $\alpha$ -peltatin)和 $\beta$ -足叶草素( $\beta$ -peltatin), 可能意味着和另外3属(狭义)有较大的隔离。但是, 后来的研究表明4个属植物都含有 $\alpha$ 、 $\beta$ -足叶草素, 现已合并为两属, 组成为一个自然类群。

4.3 含吡咯里西啶生物碱和三萜皂苷类

本类群包含囊果草属、红毛七属、牡丹草属和蓬加蒂属等4个属，都含有三萜皂苷，生物碱以吡咯里西啶为主，还含苄基异喹啉和少量有机胺生物碱。囊果草属、红毛七属和牡丹草属更接近，蓬加蒂属主要含吡啶类生物碱，与其他3属有一定的区别，这和基于形态学和植物地理学的观点(Kim et al., 2004b)是一致的。

4.4 含淫羊藿苷类黄酮类

该类群包括淫羊藿属和温哥华属，两属植物化学成分非常相似，具有很密切的关系，两属的亲缘关系一直得到有关学者(应俊生, 2002)的认同。该类群的药理作用和疗效也独树一帜，与本科其他类群不一样，主要用于促进性腺功能、抗衰老和免疫调节。因此，无论从化学成分和疗效上看，这两个属组成一个很自然的类群。裸花草属含有一类含异戊烯基的异香豆素成分和一些黄酮成分，不含生物碱成分，和淫羊藿苷类黄酮生源上有一定相似性，应该具有一定的亲缘关系。在该类群中，淫羊藿属还含木兰花碱等苄基异喹啉生物碱和木脂素类，表明该类群和鬼臼类群以及其他几个类群之间存在一定的关系。鲜黄连属化学成分研究较少。由于其异喹啉生物碱类的化学特征与淫羊藿属有一定的相似性，再根据其形态学和分子系统学证据(Kim & Jansen, 1994; Kim et al., 2004a)将其归入该类群，与目前各分类系统对该属的处理相符合。兰山草属的化学特征报道较少，尚不足以提供充分的系统学证据。

从化学特征和疗效看，各类群的个体间都含有相似的化合物和疗效相似等一系列共同的特征，各个体各自形成比较自然的类群，但各类群间则存在着较大的隔离，彼此之间亲缘关系不甚紧密或可能都没有直接的亲缘关系。比如，含苄基异喹啉生物碱的植物主要用于消炎杀菌、降压，含鬼臼毒素类植物主要用于抗癌、消肿散瘀等，含皂苷和里西啶生物碱的植物有镇痛、镇静、解毒的功效，而含黄酮类的淫羊藿植物则有强筋骨和助阳益精的功效。

因此,我们比较赞同吴征镒等(2003)的观点，建议将广义小檗科划分为4个独立的小科，即南天竹科Nandinaceae、小檗科Berberidaceae(狭义)、狮足草科Leonticaceae和鬼臼科Podophyllaceae。各类群分类和化学成分见表7。其中鬼臼科可以分为鬼臼族tribe Podophylleae和淫羊藿族tribe Epimedieae，前者主要含鬼臼毒素类木脂素，后者主要含淫羊藿苷类黄酮，都含简单黄酮和木脂素,体现两者之间的亲缘关系。鲜黄连属和兰山草属的化学研究资料不多，不足以为其化学分类提供充分证据。本文中依据形态学证据和以往的分类系统，将此两属归入淫羊藿族。至于各类群内属以及种之间的化学成分和亲缘关系的探讨，还需要进行大量的实验，以获得更多的化学性状后才能进行。



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